

2/3/05

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LOGINID:sssptal612rxd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
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NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within
STN Express with Discover!
NEWS 4 OCT 28 KOREAPAT now available on STN
NEWS 5 NOV 30 PHAR reloaded with additional data
NEWS 6 DEC 01 LISA now available on STN
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004
NEWS 8 DEC 15 MEDLINE update schedule for December 2004
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness
alerts (SDIs) affected
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and
February 2005
NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian
Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:33:44 ON 31 JAN 2005

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:33:54 ON 31 JAN 2005

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STRUCTURE FILE UPDATES: 30 JAN 2005 HIGHEST RN 823177-37-3

DICTIONARY FILE UPDATES: 30 JAN 2005 HIGHEST RN 823177-37-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> s hemiasterlin/cn

L1 1 HEMIASTERLIN/CN

=> d

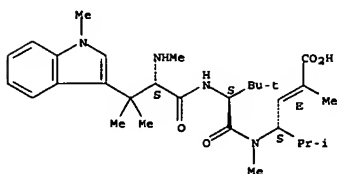
2/3/05

```

LN  ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS ON STN
LN  157027 90-4 REGISTRY
CN  L-Valinamide, N,β,β,1-tetramethyl-L-tryptophyl-N-[(1S,2E)-3-
CN  carboxy-1-(1-methylethyl)-2-butenyl]-N,3-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN  L-Valinamide, N,β,β,1-tetramethyl-L-tryptophyl-N-[3-carboxy-1-(1-
CN  methylethyl)-2-butenyl]-N,3-dimethyl-, [S-(R)]-
OTHER NAMES:
CN  (-)-Hemiasterlin
CN  Hemiasterlin
CN  Milnamide B
CN  FS
CN  STEREOSEARCH
MF  C30 H46 N4 O4
SR  CA
LC  STN Files: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT,
TOXCENTER, USPATFULL
DT.C  CAPLUS document type: Dissertation; Journal; Patent
R.D.P  Roles from non-patents: ANST (Analytical study); BIOL (Biological study);
PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
R.LD.P  Roles for non-specific derivatives from patents: ANST (Analytical
study); BIOL (Biological study); PREP (Preparation); USES (Uses)
R.LD.NP  Roles from non-patents: BIOL (Biological study); MSC (Miscellaneous);
OCU (Occurrence); PREP (Preparation); PRP (Properties); RACT (Reactant
or reagent); USES (Uses)
R.LD.NP  Roles for non-specific derivatives from non-patents: BIOL (Biological
study); PREP (Preparation)

```

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

31 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
31 REFERENCES IN FILE CAPLUS (1907 TO DATE)

2/3/05

=> logoff y

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

6.87

7.08

STN INTERNATIONAL LOGOFF AT 11:34:47 ON 31 JAN 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal612rxd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004
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NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness
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Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:18:14 ON 03 FEB 2005

=>

=>

Uploading

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Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:18:39 ON 03 FEB 2005

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STRUCTURE FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

DICTIONARY FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

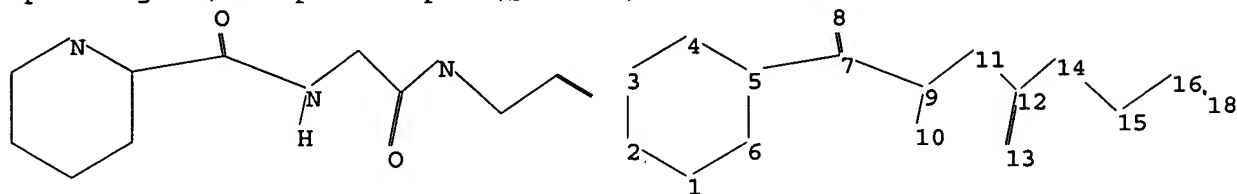
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

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2/3/05

Uploading C:\Stnexp4 corrupted\QUERIES\10667864.str



chain nodes :

7 8 9 10 11 12 13 14 15 16 18

ring nodes :

1 2 3 4 5 6

chain bonds :

5-7 7-8 7-9 9-10 9-11 11-12 12-13 12-14 14-15 15-16 16-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 9-11 12-13 12-14 14-15

exact bonds :

5-7 9-10 11-12 15-16 16-18

isolated ring systems :

containing 1 :

Match level :

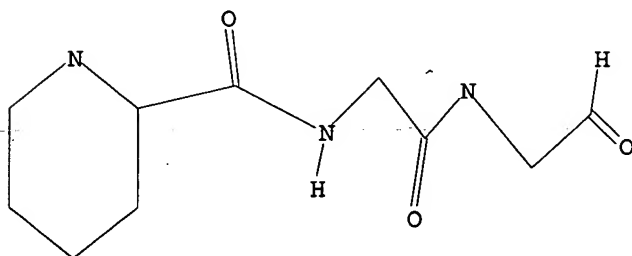
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:18:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 18597 TO ITERATE

10667864

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5.4% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 363777 TO 380103
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 11:18:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 370581 TO ITERATE

100.0% PROCESSED 370581 ITERATIONS
SEARCH TIME: 00.00.05

9 ANSWERS

L3 9 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
161.33	161.54

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:19:08 ON 03 FEB 2005
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FILE COVERS 1907 - 3 Feb 2005 VOL 142 ISS 6
FILE LAST UPDATED: 2 Feb 2005 (20050202/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

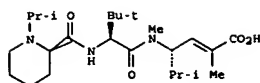
=> s l3

L4 6 L3

=> d abs fbib hitstr 1-6

10667864

2/3/05

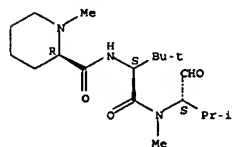
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI

AB The invention provides compds. $R_1R_2N(CR_3R_4)n-X_1-NR_5CHR_6CONR_7-R-X_2-Q$ [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X_1, X_2 are CRARB, CO, or SO₂, where RA, RB are H or R; R_1, R_2 are H, OH, CORC or R, where RC is H, OH, CORC, or R and RD is R; R_3, R_4 are H or R; R_5, R_6, R_7 are H, CORC or R, where RE is H, OH, ORP, or R and RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R_1-R_4 or two R_5-R_7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'ORQ'', N3, NOH, or R, where RQ' and RQ'' are H or R or may combine as for R_1-R_4 or R_5-R_7 (with proviso)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemisterlin derivative I, were prepared and assayed for inhibition of cell growth. Active compds. were evaluated in the reversibility, MDR, mouse serum stability, and other assays.

AN 2004:999664 CAPLUS
DN 141:395816
TI Preparation of hemisterlin derivatives as antitumor agents
IN Kovalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyvee, Mark; Yang, Hu
PA USA
SO U.S. Pat. Appl. Publ., 237 pp., Cont.-in-part of Appl. No. PCT/US03/08888.
CODEN: USXXCO
DT Patent
LA English
PAN.CIT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2002-366592P	P 20020322
			WO 2003-US8888	A2 20030321
			WO 2003-US8888	20030321
			WO 2003082268	A2 20031009
			WO 2003082268	A3 20040923
			W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
			RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PR, PY, RE, RW, SC, SD, SE, SG, SH, SI, SJ, SM, SN, ST, SV, TD, TG, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

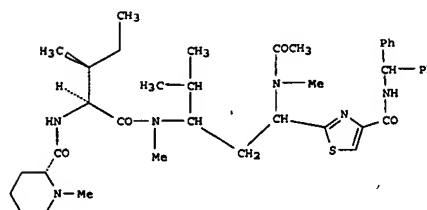


L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
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RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PR, PY, RE, RW, SC, SD, SE, SG, SH, SI, SJ, SM, SN, ST, SV, TD, TG, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

PATENT FAMILY INFORMATION:
FAN 2003:796473

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		
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			RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PR, PY, RE, RW, SC, SD, SE, SG, SH, SI, SJ, SM, SN, ST, SV, TD, TG, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
EP 1490054	A2	20041229	US 2002-366592P	P 20020322
			EP 2003-726101	20030321
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			US 2002-366592P	P 20020322
			WO 2003-US8888	W 20030321
US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2002-366592P	P 20020322
			WO 2003-US8888	A2 20030321
			WO 2003-US8888	20030321
IT 610786-68-0P				
			RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)	
			(preparation of hemisterlin deriva. as antitumor agents)	
RR 610786-68-0 CAPLUS				
CN 2-Piperidinecarboxamide, N-[(1S)-1-[[[(1S)-1-formyl-2-methylpropyl]methylamino]carbonyl]-2,2-dimethylpropyl]-1-methyl-, (2R)-(9CI) (CA INDEX NAME)				

Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI

AB Synthesis of title compds., e.g., (I), and preparation of reactants for these syntheses, for use in the treatment of autoimmune disease or tumors via their cytostatic effect (no data) was claimed. Thus, N-methyl-B-DL-valinyl tert-butylidiphenylsilyl ether (II) was prepared in three steps from methylamine, isobutyraldehyde, and malonic acid.
D-N-methyl-homo-prolyl-L-isoleucine (III) was also prepared in four steps from D-N-Boc-homoproline and L-isoleucine benzyl ester. II and III were coupled, the silyl protecting group removed, and the resulting alc. subjected to Swern oxidation to give an aldehyde intermediate, which was reacted with Me 3-dimethylamino-2-isocyanocrylate, Me amine, and thioacetic acid; the resulting 1,3-thiazole-containing compound was deesterified and reacted with various amines or amino acids to give title product I.

AN 2004:41505 CAPLUS
DN 140:94300
TI Synthesis of tubulysin derivatives for therapeutic use in treatment of disease
IN Doemling, Alexander; Henkel, Bernd; Beck, Barbara; Illgen, Katrin; Sakamuri, Sukumar; Menon, Sanjay
PA Morphochem Aktiengesellschaft fur Kombinatorische Chemie, Germany
SO PCT Int. Appl., 65 pp.,
CODEN: PIXXD2
DT Patent
LA German
PAN.CIT 1

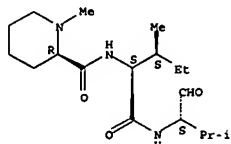
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004005327	A1	20040115	WO 2003-EP7419	20030709
			W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PR, PY, RE, RW, SC, SD, SE, SG, SH, SI, SJ, SM, SN, ST, SV, TD, TG, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	

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L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TY, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 DE 10230874 A1 20040122 DE 2002-10230874 A 20020709
 DE 10252719 A1 20040527 DE 2002-10252719 A 20021113
 DE 10252719 A1 20040527 DE 2002-10252719 A 20020709
 DE 10252719 A1 20040527 DE 2002-10252719 A 20021113
 MARPAT 140:94300
 IT 44960-92-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of tubulysin derivs. for therapeutic use in treatment of disease)
 RN 644960-92-9 CAPLUS
 CN 2-Piperidinecarboxamide, N-[(1S,2S)-1-[[[(1S)-1-formyl-2-methylpropyl]amino]carbonyl]-2-methylbutyl]-1-methyl-, (2R)- (9CI) (CA INDEX NAME)

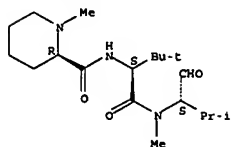
Absolute stereochemistry.



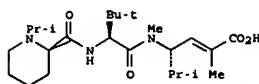
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2002-366592P P 20020322
 EP 1490054 A2 20041229 EP 2003-726101 20030321
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 US 2002-366592P P 20020322
 WO 2003-US8888 W 20030321
 US 2003-667864 20030922
 US 2002-366592P P 20020322
 WO 2003-US8888 A2 20030321
 PATENT FAMILY INFORMATION:
 FAN 2004:999664
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI US 2004229819 A1 20041118 US 2003-667864 20030922
 US 2002-366592P P 20020322
 WO 2003082268 A2 20031009 WO 2003-US8888 A2 20030321
 WO 2003082268 A3 20040923
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 US 2002-366592P P 20020322
 MARPAT 139:308008
 IT 610786-68-OP
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hemisterlin derivs. as antitumor agents)
 RN 610786-68-0 CAPLUS
 CN 2-Piperidinecarboxamide, N-[(1S)-1-[[[(1S)-1-formyl-2-methylpropyl]methylamino]carbonyl]-2,2-dimethylpropyl]-1-methyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



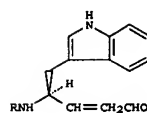
L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
 GI



AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q (R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R; RC is H, OH, ORD, or R; RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R; RE is H, OH, ORP, or R; RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 (with provision) or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemisterlin derivative I, were prepared and assayed for inhibition of cell growth. Active compds. (IC50 < 20 nM) were evaluated in the reversibility, MDR, and mouse serum stability assays.
 AN 2003:796473 CAPLUS
 DN 139:308008
 TI Preparation of hemisterlin derivatives as antitumor agents
 IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyryev, Mark; Yang, Hu
 PA Eisai Co. Ltd., Japan
 SO PCT Int. Appl., 289 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
 GI



AB The present invention relates to acylaminoaldehyde compds. of formula R4-O-NHCH(R1)-X-CHO [Q = one or two amino acid residual groups which may be substituted; R1 = hydrogen atom or an optionally substituted hydrocarbon or heterocyclic group; R4 = an optionally esterified carboxyl group or an acyl group; X = a optionally substituted straight-chain or branched divalent hydrocarbon group having a chain length of 1 to 4 atoms as the linear moiety], or salts thereof, which have strong cysteine protease inhibitory activities and are useful as prophylactic and therapeutic agent of various diseases, including bone diseases, caused by abnormal exasperation of cysteine protease, are prepared. Thus, 2.4 g N-tert-butoxycarbonyl-L-phenylalanyl-L-tryptophanal and 1.76 g (formylmethylene)triphenylphosphorane were dissolved in 10 mL THF and 30 mL toluene and stirred for 15 h to give the title compound (I; R = Boc-Phe).
 The latter compound and I (R = PhCH2O2C-Leu-Leu) (II) in vitro showed

IC50 of 3.5 + 10-8 and 9.7 + 10-9 M, resp., against cathepsin L and that of 2.4 + 10-6 and 9.7 + 10-7 M, resp., against cathepsin B, resp. In a bone resorption inhibitory assay, they in vitro inhibited by 83 and 51%, resp., the Ca release from fetal rat's forearm bones. A gelatin capsule formulation containing II was described.

AN 1996:443908 CAPLUS
 DN 125:115147
 TI Preparation of peptide aldehyde derivatives as cysteine protease inhibitors
 IN Shoda, Takashi; Fujisawa, Yukio; Yasuma, Tauneko; Mizoguchi, Junji
 PA Takeda Chemical Industries, Ltd., Japan
 SO PCT Int. Appl., 85 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9610014	A1	19960404	WO 1995-JP1933	19950928
W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, DE, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN			
RM:	KE, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			

JP 1994-231839 A 19940927

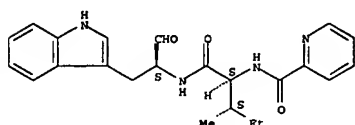
10667864

2/3/05

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CA 2196182 AA 19960404 CA 1995-2196182 19950925
 JP 1994-231839 A 19940927
 AU 9535341 A1 19960419 AU 1995-35341 19950925
 JP 1994-231839 A 19940927
 WO 1995-JP1933 W 19950925
 JP 1995-245957 19950925
 JP 1994-231839 A1 19940927
 EP 783489 A1 19970716 EP 1995-932228 19950925
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 WO 1995-JP1933 W 19950925

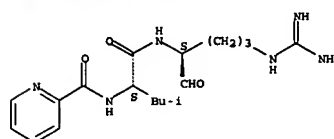
OS MARPAT 125:115147
 IT 178911-01-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of peptide aldehyde deriva. as cysteine protease inhibitors and bone resorption inhibitors for treating bone diseases)
 RN 178911-01-8 CAPLUS
 CN 2-Pyridinecarboxamide, N-[1-[[[1-formyl-2-(1H-indol-3-yl)ethyl]amino]carbonyl]-3-methylbutyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



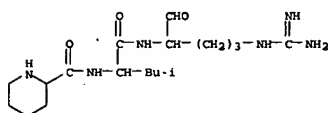
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
 AB Thirty analogs of leupeptin was synthesized and examined for their inhibitory activities against trypsin, papain, plasmin, kallikrein, thrombin, and urokinase in vitro. Relative to leupeptin, benzoyl- and α -naphthalenesulfonyl-L-leucyl-L-argininal were 8-fold more inhibitory to papain, benzyloxycarbonyl-L-pyroglutamyl-L-leucyl-L-argininal 10-fold more inhibitory to trypsin and plasmin, and DL-2-pipecolyl-L-leucyl-L-argininal 25-fold more inhibitory to kallikrein.
 Against urokinase, only L-pyroglutamyl-L-leucyl-L-argininal exhibited a potent inhibitory activity. α -Naphthalenesulfonyl-, dansyl-, and benzyloxycarbonyl- (2S,3R)-3-amino-2-hydroxy-4-phenylbutyryl-L-leucyl-L-argininal were inhibitory to thrombin.
 AN 1988:200699 CAPLUS
 DN 108:200699
 TI Protease-inhibitory activities of leupeptin analogs
 AU Saino, Tetsushi; Someno, Tetsuya; Ishii, Shinichi; Aoyagi, Takaaki; Umezawa, Hamao
 CS Res. Lab., Nippon Kayaku Co., Ltd., Tokyo, 115, Japan
 SO Journal of Antibiotics (1988), 41(2), 220-5
 CODEN: JANTAJ; ISSN: 0021-8820
 DT Journal
 LA English
 IT 83039-65-0 114318-20-6 114332-79-5
 RL: BIOL (Biological study)
 (protease inhibition by, other leupeptin analogs comparison with)
 RN 83039-65-0 CAPLUS
 CN 2-Pyridinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

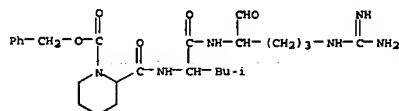


RN 114318-20-6 CAPLUS
 CN 3-Piperidinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 114332-79-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 2-[[[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
 AB L-Argininal peptides R-X-L-Leu-L-NHCH(CHO)(CH2)3NHC(:NH)NH2 [I; X = CO, SO2; R = alkyl, cycloalkyl, (un)substituted Ph, (un)substituted naphthyl, pyridyl, PhCH2O, furyl, chienyl, pyrrolidinyl, pyrrolidone moiety, piperidinyl (the latter 3 substituted with PhCH2O2C (2)), R1X1 [X1 = CH(OH), CH(NH2); R1 = alkyl, Ph, PhCH2, ZNHC(CH2)2Ph]] were prepared as inhibitors of serine and thiol proteases. Thus, H-L-Leu-L-NHCH(CH(OBu)2)(CH2)3NHC(:NH)NH2.HCl was condensed with BzOH by di-Ph phosphorylazide in DMP at ambient temperature for 8 h and the resulting product was hydrolyzed to give I.HCl (RX = Bz) (II). Data are given for the inhibition of papain, trypsin, kallikrein, and plasmin by I, e.g., II inhibited papain with an IC50 of 0.5 mg/mL.

AN 1982:545287 CAPLUS
 DN 97:145287
 TI L-Argininal derivatives
 IN Umezawa, H.; Takeuchi, T.; Aoyagi, T.; Ishii, S.; Saino, T.; Someno, T.
 PA Nippon Kayaku Co., Ltd., Japan
 SO Fr. Demande, 31 pp.
 CODEN: FRXXBL

DT Patent
 LA French
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2490632	A1	19820326	FR 1981-17674	19810918
FR 2490632	B1	19861212		
JP 57054157	A2	19820331	JP 1980-129097	A 19800919
JP 02000342	B4	19900108	JP 1980-129097	19800919
US 4401594	A	19830830	US 1981-300443	19810908
			JP 1980-129097	A 19800919
GB 2086380	A	19820512	GB 1981-28012	19810916
GB 2086380	B2	19840531		
			JP 1980-129097	A 19800919
DE 3137280	A1	19820603	DE 1981-3137280	19810918
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CA 1183130	A1	19850226	CA 1981-386220	19810918
			JP 1980-129097	A 19800919

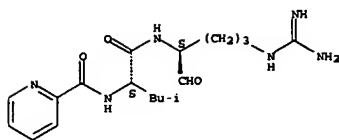
OS CASREACT 97:145287
 IT 83039-50-3P 83039-51-4P 83039-52-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and proteinase-inhibiting activity of)

RN 83039-50-3 CAPLUS
 CN 2-Pyridinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, monohydrochloride, [S-(R*,R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

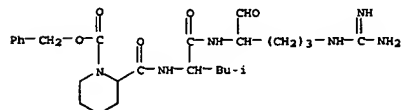
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L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

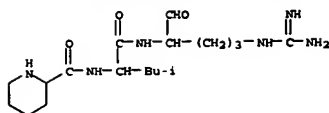
RN 83039-51-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[[[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 83039-52-5 CAPLUS
CN 2-Piperidinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

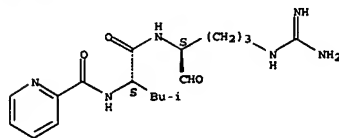
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

IT 83039-65-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 83039-65-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



2/3/05

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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
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DICTIONARY FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

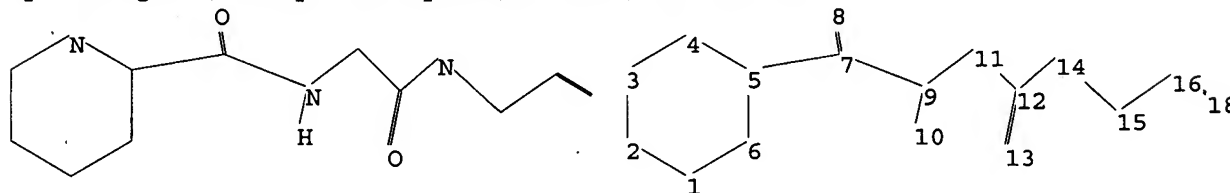
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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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ring nodes :

1 2 3 4 5 6

chain bonds :

5-7 7-8 7-9 9-10 9-11 11-12 12-13 12-14 14-15 15-16 16-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 9-11 12-13 12-14 14-15

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isolated ring systems :

containing 1 :

10667864

2/3/05

Match level :

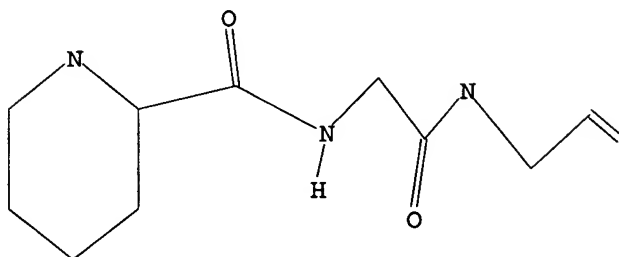
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11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

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L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 11:22:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 370581 TO ITERATE

100.0% PROCESSED 370581 ITERATIONS

41 ANSWERS

SEARCH TIME: 00.00.04

L6 41 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-4.38

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FILE COVERS 1907 - 3 Feb 2005 VOL 142 ISS 6
FILE LAST UPDATED: 2 Feb 2005 (20050202/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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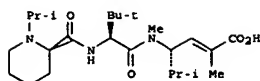
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2/3/05

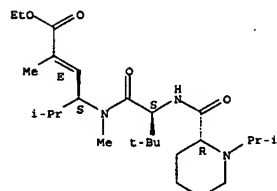
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI

AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R, where RC is H, OH, CORD, or R and RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R, where RE is H, OH, ORP, or R and RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RO'', N3, NOH, or R, where RQ' and RO'' are H or R or may combine as for R1-R4 or R5-R7 (with proviso)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemisterlin derivative 1, were prepared and assayed for inhibition of cell growth. Active compds. were evaluated in the reversibility, MDR, mouse serum stability, and other assays.

AN 2004:999664 CAPLUS
DN 141:395816
TI Preparation of hemisterlin derivatives as antitumor agents
IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris M.; Spyves, Mark; Yang, Hu
PA USA
SO U.S. Pat. Appl. Publ., 237 pp., Cont.-in-part of Appl. No. PCT/US03/08888.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

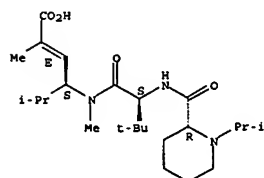
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004229819	A1	20041118	US 2003-667864	20030922
			US 2003-366592P	P 20020322
			WO 2003-US8888	A2 20030321
			WO 2003-US8888	20030321
WO 2003082268	A2	20031009		
WO 2003082268	A3	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 610787-07-0P 610787-11-6P 610787-20-7P
610787-22-9P 610787-33-2P 610787-34-3P
610787-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hemisterlin deriva. as antitumor agents)
RN 610787-07-0 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-11-6 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4E)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-10-5
CMF C25 H45 N3 O4

Absolute stereochemistry.

10667864

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GU, GW, ML, MR, NE, SN, TD, TO
US 2002-366592P P 20020322

PATENT FAMILY INFORMATION:

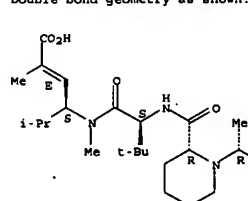
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GU, GW, ML, MR, NE, SN, TD, TO				
EP 1490054	A2	20041229	US 2002-366592P	P 20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004229819	A1	20041118	WO 2003-US8888	20030321
			US 2003-667864	20030922
			US 2002-366592P	P 20020322
			WO 2003-US8888	A2 20030321

IT 610787-09-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hemisterlin deriva. as antitumor agents)
RN 610787-09-2 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

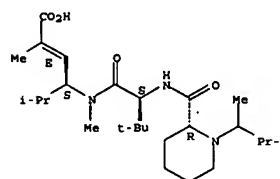


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 610787-20-7 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-2-[[[(2R)-1-(1,2-dimethylpropyl)-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4E)- (9CI) (CA INDEX NAME)

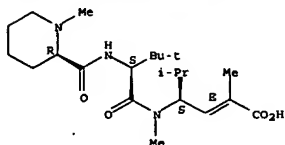
Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-22-9 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4E)- (9CI) (CA INDEX NAME)

2/3/05

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.

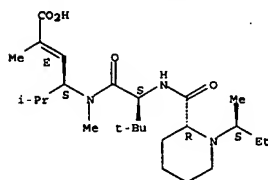


RN 610787-33-2 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1S)-1-methylpropyl]-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-32-1
CMF C25 H45 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

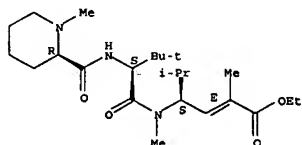
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
610787-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hemiaesterlin derivs. as antitumor agents)

RN 610786-72-6 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610786-71-5
CMF C24 H43 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 610786-73-7 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, monohydrochloride, (2E,4S)- (9CI) (CA INDEX NAME)

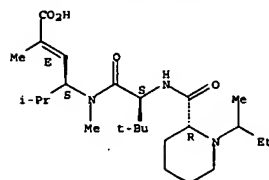
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



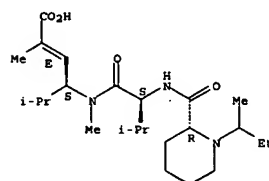
RN 610787-34-3 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



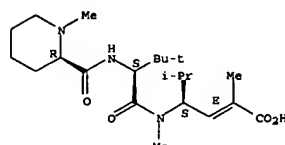
RN 610787-35-4 CAPLUS
CN 2-Hexenoic acid, 2,5-dimethyl-4-[methyl[(2S)-3-methyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]amino]-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 610786-72-6P 610786-73-7P 610786-82-8P

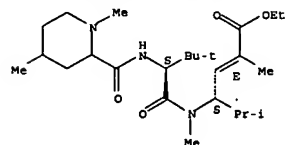
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 610786-82-8 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-2-[[[(1,4-dimethyl-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-17-2 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

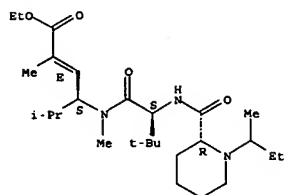
CRN 610787-16-1
CMF C27 H49 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.

10667864

2/3/05

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 610786-74-8P 610786-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hemiassterlin deriva. as antitumor agents)

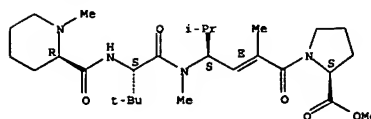
RN 610786-74-8 CAPLUS

CN L-Proline,

(2R)-1-methyl-2-piperidinecarbonyl-3-methyl-L-valyl-(2E,4S)-2,5-dimethyl-4-(methylamino)-2-hexenoyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



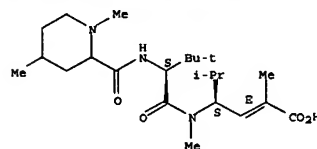
● HCl

RN 610786-95-3 CAPLUS

CN 2-Hexenoic acid,

4-[[[(2S)-2-[[[(1,4-dimethyl-2-piperidiny]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

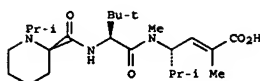
Absolute stereochemistry.
Double bond geometry as shown.



2/3/05

=> d abs fbib hitstr 2-9

2/3/05

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI

AB The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R; RC is H, OH, ORD, or R; RD is R; R3, R4 are

H or R; R5, R6, R7 are H, CORC or R; RE is H, OH, ORP, or R; RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(aryl), (hetero)alicyclic(heteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are

H or R or may combine as for R1-R4 or R5-R7 (with provisos) or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemisterlin derivative I, were prepared

and assayed for inhibition of cell growth. Active compds. (IC50 < 20 nM)

were evaluated in the reversibility, MDR, and mouse serum stability assays.

AN 2003:796473 CAPLUS

DN 139:308008

TI Preparation of hemisterlin derivatives as antitumor agents

IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris

W.; Spyvee, Mark; Yang, Hu

PA Eisai Co. Ltd., Japan

SO PCT Int. Appl., 289 pp.

CODEN: PIXXD2

DT Patent

LA English

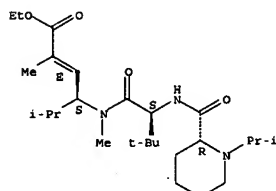
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082268	A2	20031009	WO 2003-US8888	20030321
WO 2003082268	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LJ, MC, NL, PT, RO, SE, SI, SK, TR,

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



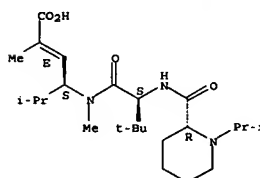
IT 610787-07-0P 610787-11-6P 610787-20-7P
610787-22-9P 610787-33-2P 610787-34-3P
610787-35-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hemisterlin derivs. as antitumor agents)

610787-07-0 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-11-6 CAPLUS
CN 2-Hexenoic acid,
4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[[1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-10-5
CMF C25 H45 N3 O4

Absolute stereochemistry.

10667864

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
EP 1490054 A2 20041229 EP 2003-726101 P 20030321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, ES, HU, SK
US 2004229819 A1 20041118
US 2002-366592P P 20020322
WO 2003-US8888 W 20030321
US 2003-667864 P 20030922
US 2002-366592P P 20020322
WO 2003-US8888 A2 20030321

PATENT FAMILY INFORMATION:

FAN	2004:999664	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004229819	A1	20041118	US 2003-667864	20030922	
				US 2002-366592P	P 20020322	
				WO 2003-US8888	A2 20030321	
				WO 2003-US8888	20030321	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LJ, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

US 2002-366592P P 20020322

OS MARPAT 139:308008

IT 610787-09-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of hemisterlin derivs. as antitumor agents)

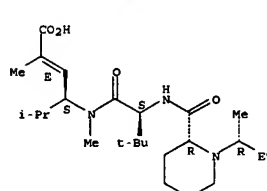
610787-09-2 CAPLUS

CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



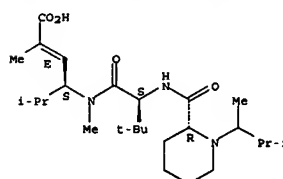
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 610787-20-7 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-2-[[[(2R)-1-(1,2-dimethylpropyl)-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

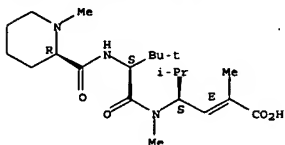
Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-22-9 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

2/3/05

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.

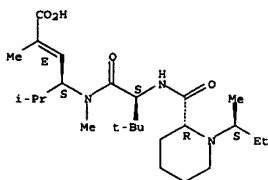


RN 610787-33-2 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1S)-1-methylpropyl]-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-32-1
CMP C25 H45 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2

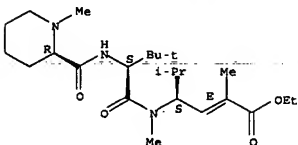
L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
610787-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hemiasterlin derivs. as antitumor agents)

RN 610786-72-6 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610786-71-5
CMP C24 H43 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMP C2 H F3 O2



RN 610786-73-7 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, monohydrochloride, (2E,4S)- (9CI) (CA INDEX NAME)

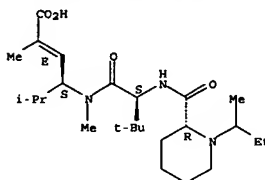
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



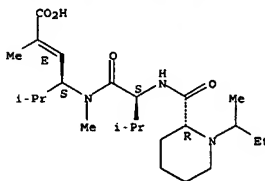
RN 610787-34-3 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



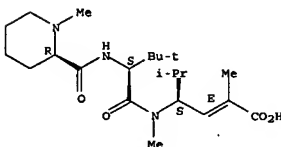
RN 610787-35-4 CAPLUS
CN 2-Hexenoic acid, 2,5-dimethyl-4-[methyl[(2S)-3-methyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]amino]-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 610786-72-6P 610786-73-7P 610786-82-8P

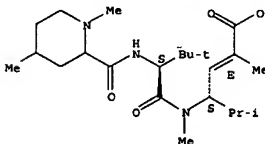
L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 610786-82-8 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-2-[[[(1,4-dimethyl-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 610787-17-2 CAPLUS
CN 2-Hexenoic acid, 4-[[[(2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

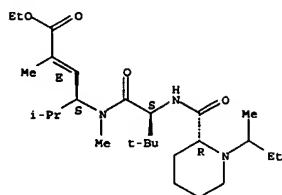
CRN 610787-16-1
CMP C27 H49 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.

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L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



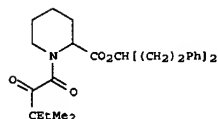
CM 2
CRN 76-05-1
CMF C2 H F3 O2



IT 610786-74-8P 610786-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hemiassterlin derivs. as antitumor agents)
RN 610786-74-8 CAPLUS
CN L-Proline,
(2R)-1-methyl-2-piperidinecarbonyl-3-methyl-L-valyl-(2E,4S)-2,5-dimethyl-4-(methylamino)-2-hexenoyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI



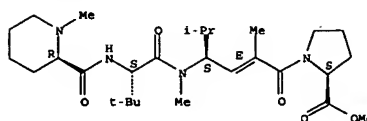
AB Pipecolic acid derivs. are prepared for treating vision disorders, improving vision, treating memory impairment, or enhancing memory performance in an animal. These compds. bind to immunophilin FKBP12 and preferably do not have immunosuppressive activity. Affinity for FKBP12 is measured as inhibition of prolyl-peptidyl cis-trans isomerase (rotamase). Thus, pipecolic acid ester 1 inhibited rotamase with a Ki of 20 nM, showed a clearance rate of 41.8 µL/min, and rescued 56.6% of optic nerve axons from degeneration 14 days after optic nerve transection in rats (dose and route of administration not stated).

AN 2000:133482 CAPLUS
DN 132:175851
TI Pipecolic acid derivatives for vision and memory disorders
IN Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory S.; Steiner, Joseph P.
PA Guilford Pharmaceuticals Inc., USA
SO PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DT Patent
LA English
FAM. CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 200009109	A2	20000224	WO 1999-US18242	19990812
WO 200009109	A3	20000817		
W:	AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RN:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6376517	B1	20020423	US 1998-134417	A 19980814
CA 2344520	AA	20000224	CA 1999-2144520	19990812
			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812
AU 9955557	A1	20000306	AU 1999-55557	19990812
			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812
EP 1109554	A2	20010627	EP 1999-942109	19990812

10667864

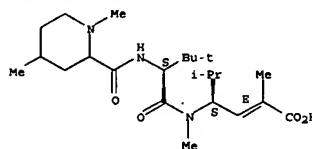
L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 610786-95-3 CAPLUS
CN 2-Hexenoic acid,
4-[[[(2S)-2-[[[(1,4-dimethyl-2-piperidiny]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

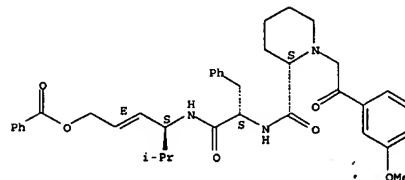


L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002522485	T2	20020723	US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812
			JP 2000-564612	19990812
			US 1998-134417	A 19980814
			WO 1999-US18242	W 19990812

IT 145021-65-4 145021-66-5 145021-67-6
145021-68-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pipecolic acid derivs. for vision and memory disorders)
RN 145021-65-4 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

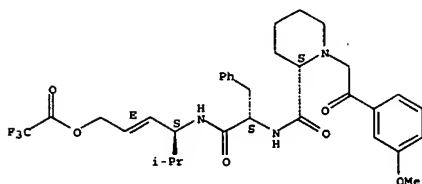


RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E,4S)-4-[[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidiny]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

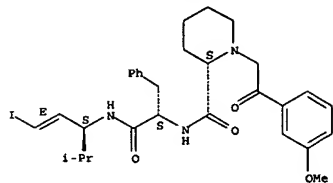
2/3/05

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-67-6 CAPLUS
 CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-68-7 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AB This invention relates to pharmaceutical compns. and methods for treating alopecia and promoting hair growth using pipecolic acid deriva. Thus, a hair lotion contained 95% EtOH, a pipecolic acid derivative such as 4-(4-methoxyphenyl)butyl 1-(2-oxo-2-phenylacetyl)-2-piperidinecarboxylate 10.0, α -tocopherol acetate 0.01, ethoxylated hardened castor oil 0.5, and water 9.0%, and perfume and dye.

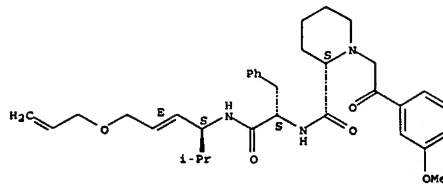
AN 1999:783903 CAPLUS
 DN 132:26633
 TI Pipecolic acid derivatives for hair growth compositions
 IN Hamilton, Gregory S.; Steiner, Joseph P.
 PA Guilford Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 103 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9962483	A1	19991209	WO 1998-US11242	19980603
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2333698	AA	19991209	CA 1998-2333698	19980603
AU 9877167	A1	19991220	WO 1998-US11242	A 19980603
AU 761083	B2	20030529	AU 1998-77167	19980603
EP 1083872	A1	20010321	EP 1998-925152	A 19980603
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002516839	T2	20020611	WO 1998-US11242	W 19980603
			JP 2000-551739	19980603
			WO 1998-US11242	W 19980603

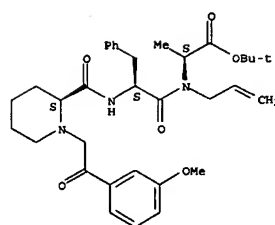
IT 252002-98-5 252002-99-6 252003-00-2
 252003-01-3 252003-02-4
 RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pipecolic acid deriva. for hair growth compns.)
 RN 252002-98-5 CAPLUS
 CN L-Alanine,
 (2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinecarbonyl-L-phenylalanyl-N-2-propenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

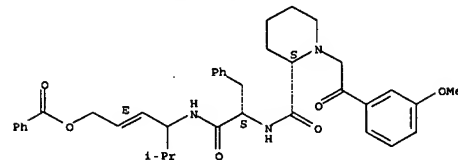


L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 252002-99-6 CAPLUS
 CN 2-Piperidinecarboxamide,
 N-[(1S)-2-[[[(2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



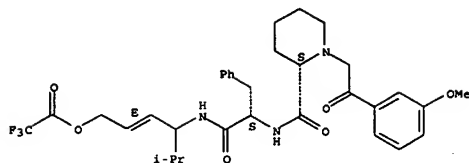
RN 252003-00-2 CAPLUS
 CN Acetic acid, trifluoro-,
 (2E)-4-[[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

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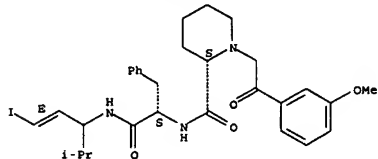
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L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



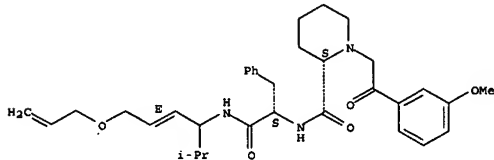
RN 252003-01-3 CAPLUS
 CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 252003-02-4 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[[(2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN

AB Rotamase or peptidyl-prolyl isomerase inhibitors e.g. neurotrophic
 pipercolinic acid derivs. (including PK506, Way 124666, Rapamycin, SLB
 506, etc.) with FKBP-type immunophilin affinity are claimed for stimulating
 nerve growth and regeneration after nerve injury in treatment of neurol.
 diseases e.g. Alzheimer's disease, parkinsonism, muscle atrophy, etc.

The effects of these inhibitors were comparable to that of nerve growth
 factor.
 AN 1997:165074 CAPLUS
 DN 126:152815
 TI Rotamase inhibitors for treatment of neurological diseases
 IN Steiner, Joseph P.; Synder, Solomon; Hamilton, Gregory S.
 PA Guilford Pharmaceuticals, Inc., USA; Johns Hopkins University School of
 Medicine
 SO Jpn. Kokai Tokkyo Koho, 41 pp.
 CODEN: JKKXAF
 DT Patent
 LA Japanese
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08333256	A2	19961217	JP 1996-132866	19960430
JP 3060373	B2	20000710		
US 5798355	A	19980825	US 1995-474072	A 19950607
CN 1187127	A	19980708	US 1995-474072	19950607
			CN 1996-194555	19960605
			US 1995-474072	A 19950607
LT 4516	B	19990625	LT 1998-2	19980106
			US 1995-474072	A 19950607

PATENT FAMILY INFORMATION:

FAN 1997:151523

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640140	A1	19961219	WO 1996-US9561	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LA, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CO, CI, CM, GA, GN				
US 5798355	A	19980825	US 1995-474072	A 19950607
US 5696135	A	19971209	US 1996-653905	A 19960528
			US 1995-474072	19950607
			US 1996-653905	19960528
AU 9661622	A1	19961230	US 1995-474072	A2 19950607
AU 710423	B2	19990923	AU 1996-61622	19960605
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
GB 2305605	A1	19970416	GB 1996-24258	19960605
GB 2305605	B2	20000112		
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
DE 19680255	T	19970605	DE 1996-19680255	19960605
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

EP 777478	A1	19970611	WO 1996-US9561	W 19960605
EP 777478	B1	20011107	EP 1996-919227	19960605
R: BE, FR, GR, IE, IT, MC, NL				
BR 9608485	A	19990706	US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
			BR 1996-8485	19960605
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
NZ 310767	A	20001124	NZ 1996-310767	19960605
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
FI 9604137	A	19970115	FI 1996-4137	19961015
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
TW 523410	B	20030311	TW 1996-05113075	19961024
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
SE 9604097	A	19961208	SE 1996-4097	19961108
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
DK 9601256	A	19961220	DK 1996-1256	19961108
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
NO 9704290	A	19971204	NO 1997-4290	19970917
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
HK 1013254	A1	20000616	HK 1998-114579	19981222
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
FAN 1998:17977	KIND	DATE	APPLICATION NO.	DATE
PI US 5696135	A	19971209	US 1996-653905	19960528
			US 1995-474072	A2 19950607
US 5798355	A	19980825	US 1995-474072	19950607
CA 2206824	AA	19961219	CA 1996-2206824	19960605
CA 2206824	C	20010814		
WO 9640140	A1	19961219	US 1995-474072	A 19950607
			US 1996-653905	A 19960528
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LA, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CO, CI, CM, GA, GN				
US 1995-474072	A	19950607		
US 1996-653905	A	19960528		
US 1995-474072	A	19950607		
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US 1995-474072	A	19950607		
US 1996-653905	A	19960528		
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US 1996-653905	A	19960528		
AU 9661622	A1	19961230		

10667864

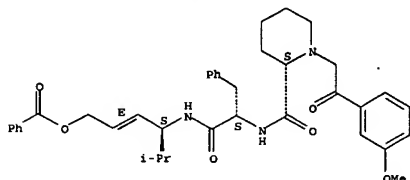
2/3/05

L7	ANSWER 5 OF 9	CAPLUS	COPYRIGHT 2005	ACS on STN	(Continued)
AU 710423	B2	19990923	US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
GB 2305605	A1	19970416	GB 1996-24258	A	19960605
GB 2305605	B2	20000112	US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
DE 19680255	T	19970605	DE 1996-19680255	A	19960605
			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
EP 777478	A1	19970611	EP 1996-919227	A	19960605
EP 777478	B1	20011107	US 1995-474072	A	19950607
R: BE, FR, GR, IE, IT, MC, NL			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
CN 1187127	A	19980708	CN 1996-194555	A	19960605
CH 689541	A	19990615	US 1995-474072	A	19950607
			CH 1996-2789	A	19960605
			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
BR 9608485	A	19990706	BR 1996-8485	A	19960605
			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
ES 2138518	A1	20000101	ES 1996-50031	A	19960605
ES 2138518	B1	20010101	US 1995-474072	A	19950607
			US 1996-653905	A	19960528
NZ 310767	A	20001124	NZ 1996-310767	A	19960605
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			WO 1996-US9561	W	19960605
ES 2166740	A1	20020416	ES 2000-200050035	A	19960605
ES 2166740	B1	20031101	US 1995-474072	A	19950607
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FI 9604137	A	19970115	FI 1996-4137	A	19961015
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TW 523410	B	20030311	TW 1996-85113075	A	19961024
			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
ZA 9608981	A	19980525	ZA 1996-8981	A	19961025
			US 1996-653905	A	19960528
SE 9604097	A	19961208	SE 1996-4097	A	19961108
			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
DK 9601256	A	19961220	DK 1996-1256	A	19961108

L7	ANSWER 5 OF 9	CAPLUS	COPYRIGHT 2005	ACS on STN	(Continued)
			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
US 5843960	A	19981201	US 1997-787162	A2	19970123
			US 1995-474072	A2	19950607
			US 1996-653905	A1	19960528
US 5846981	A	19981208	US 1997-787163	A2	19970123
			US 1995-474072	A2	19950607
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NO 9704290	A	19971204	NO 1997-4290	A	19970917
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			US 1996-653905	A	19960528
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ES 2194596	A1	20031116	ES 2001-200150041	A	19980605
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			US 1996-653905	A	19960528
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			US 1995-474072	A	19950607
			US 1996-653905	A	19960528
			WO 1996-US9561	W	19960605
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AU 740089	B2	20011101	US 1995-474072	A	19950607
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			AU 1996-61622	A3	19960605
			US 1999-435323	A	19991105
US 2002052372	A1	20020502	US 1995-474072	A2	19950607
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			US 1999-435323	A3	19991105
IT 145021-65-4 145021-66-5 145021-67-6			145021-68-7		
RL: BAC (Biological activity or effector, except adverse); BSU					
(Biological					
study, unclassified); THU (Therapeutic use); BIOL (Biological study);					
USES					
(Uses)					
(rotamase inhibitors for treatment of neurol. diseases)					
RN 145021-65-4 CAPLUS					
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)					

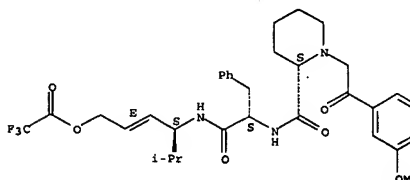
L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 methyl-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-66-5 CAPLUS
 CN Acetic acid, trifluoro-, (2E,4S)-4-[[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonylamino]-1-oxo-3-phenylpropyl]amino]-2-oxo-1-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

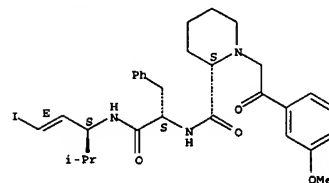
Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-67-6 CAPLUS
 CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

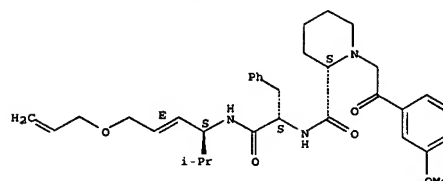
Absolute stereochemistry.
 Double bond geometry as shown.

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-68-7 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



2/3/05

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
 AB Neurotrophic peptideic acid deriva. having an affinity for FKBP-type
 immunophilins are useful as inhibitors of the enzyme activity associated

with immunophilin proteins, and in particular inhibitors of peptidyl-prolyl
 isomerase or rotamase enzyme activity, to stimulate or promote neuronal
 growth or regeneration. The compds. of the invention (e.g. Way-124,666;
 SLB-506) are useful for the treatment of neurol. disorders. The compds.
 may be used in conjunction with a neurotrophic factor (neurotrophic
 factor, brain-derived growth factor, neurotrophin-3, etc.).

AN 1997:151523 CAPLUS
 DN 126:152817
 TI Pipecolic acid derivatives as inhibitors of rotamase activity, and use in
 treatment of nervous system disorders.
 IN Steiner, Joseph P.; Snyder, Solomon; Hamilton, Gregory S.
 PA Quilford Pharmaceuticals Inc., USA; Johns Hopkins University School of
 Medicine
 SO PCT Int. Appl., 110 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CMT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640140	A1	19961219	WO 1996-US9561	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5798355	A	19980825	US 1995-474072	A 19950607
US 5696135	A	19971209	US 1996-653905	A 19960528
AU 9661622	A1	19961230	US 1995-474072	A2 19950607
AU 710423	B2	19990923	AU 1996-61622	19960605
GB 2305605	A1	19970416	US 1995-474072	A 19950607
GB 2305605	B2	20000112	WO 1996-US9561	A 19960528
			WO 1996-US9561	W 19960605
			GB 1996-24258	19960605
DE 19680255	T	19970605	US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
EP 777478	A1	19970611	US 1995-474072	A 19950607
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R: BE, FR, GR, IE, IT, MC, NL			EP 1996-919227	19960605
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

BR 9608485	A	19990706	WO 1996-US9561	19960605
			BR 1996-8485	W 19960605
			US 1995-474072	A 19950607
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			WO 1996-US9561	W 19960605
NZ 310767	A	20001124	NZ 1996-310767	19960605
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
FI 9604137	A	19970115	FI 1996-4137	19961015
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TW 523410	B	20030311	TW 1996-85113075	19961024
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
SE 9604097	A	19961208	SE 1996-4097	19961108
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
DK 9601256	A	19961220	DK 1996-1256	19961108
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			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
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			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605

PATENT FAMILY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI 1997:165074				
JP 08333256	A2	19961217	JP 1996-132866	19960430
JP 3060373	B2	20000710		
US 5798355	A	19980825	US 1995-474072	A 19950607
CN 1187127	A	19980708	US 1995-474072	A 19950607
			CN 1996-194555	19960605
			US 1995-474072	A 19950607
LT 4516	B	19990625	LT 1998-2	19980106
			US 1995-474072	A 19950607
PI 1998:17977				
US 5696135	A	19971209	US 1996-653905	19960528
			US 1995-474072	A2 19950607
US 5798355	A	19980825	US 1995-474072	19950607
CA 2206824	AA	19961219	CA 1996-2206824	19960605
CA 2206824	C	20010814		
			US 1995-474072	A 19950607
			US 1996-653905	A 19960528

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

WO 9640140	A1	19961219	WO 1996-US9561	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9661622	A1	19961230	US 1995-474072	A 19950607
AU 710423	B2	19990923	US 1996-653905	A 19960528
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GB 2305605	B2	20000112	WO 1996-US9561	A 19960528
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			GB 1996-24258	19960605
DE 19680255	T	19970605	US 1995-474072	A 19950607
			US 1996-653905	A 19960528
			WO 1996-US9561	W 19960605
EP 777478	A1	19970611	US 1995-474072	A 19950607
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			US 1996-653905	A 19960528
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			WO 1996-US9561	W 19960605
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			WO 1996-US9561	W 19960605
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			WO 1996-US9561	W 19960605
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ZA 9608981	A	19980525	ZA 1996-8981	19961025

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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			WO 1996-US9561	W 19960605
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			US 1996-653905	A 19960528
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			US 1998-113310	19980710
			US 1995-474072	A2 19950607
			US 1996-653905	A1 19960528
			US 1997-787162	19970123
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AU 740089	B2	20011101		
			US 1995-474072	A 19950607
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			US 1999-435323	19991105
			US 1995-474072	A2 19950607
			US 1996-653905	A1 19960528
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			US 2002-228312	20020827
			US 1995-474072	A2 19950607
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			US 1997-787162	A1 19970123
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			US 1999-435323	A3 19991105
IT 145021-65-4 145021-66-5 145021-67-6				
145021-68-7				
RL: BAC (Biological activity or effector, except adverse); BSU				
(Biological				
study, unclassified); THU (Therapeutic use); BIOL (Biological study);				
US2S				
(Uses)				
(pipecolic acid deriva. as inhibitors of rotamase activity, and use in				

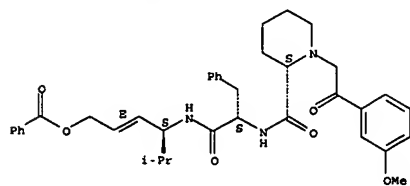
10667864

2/3/05

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
treatment of nervous system disorders.)

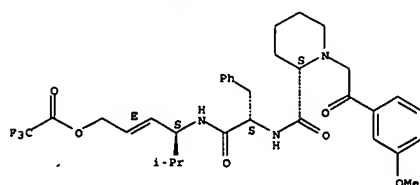
RN 145021-65-4 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-4-(benzyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-], (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

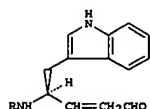
RN 145021-66-5 CAPLUS

CN Acetic acid, trifluoro-, (2E,4S)-4-[[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145021-67-6 CAPLUS

CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-], (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI

AB The present invention relates to acylaminoaldehyde compds. of formula R4-Q-MHCRI-X-CHO [Q = one or two amino acid residual groups which may be substituted; R1 = hydrogen atom or an optionally substituted hydrocarbon or heterocyclic group; R4 = an optionally esterified carboxyl group or an acyl group; X = a optionally substituted straight-chain or branched divalent hydrocarbon group having a chain length of 1 to 4 atoms as the linear moiety], or salts thereof, which have strong cysteine protease inhibitory activities and are useful as prophylactic and therapeutic agent

of various diseases, including bone diseases, caused by abnormal exasperation of cysteine protease, are prepared. Thus, 2.4 g N-tert-butoxycarbonyl-L-phenylalanyl-L-tryptophanal and 1.76 g (formylmethylene)triphenylphosphorane were dissolved in 10 mL THF and 30 mL toluene and stirred for 15 h to give the title compound (I; R = Boc-Phe).

The latter compound and I (R = PhCH2O2C-Leu-Leu) (II) in vitro showed

IC50 of 3.5×10^{-8} and 9.7×10^{-9} M, resp., against cathepsin L and that of 2.4×10^{-6} and 9.7×10^{-7} M, resp., against cathepsin B, resp. In a bone resorption inhibitory assay, they in vitro inhibited by 83 and 51%, resp., the Ca release from fetal rat's forearm bones. A gelatin capsule formulation containing II was described.

AN 1996:443908 CAPLUS

DN 125:115147

TI Preparation of peptide aldehyde derivatives as cysteine protease inhibitors

IN Schida, Takashi; Fujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DT Patent

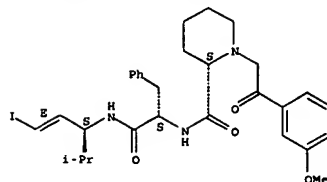
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI MO 9610014	A1	19960404	NO 1995-JP1933	19950925
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MQ, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RM: KE, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

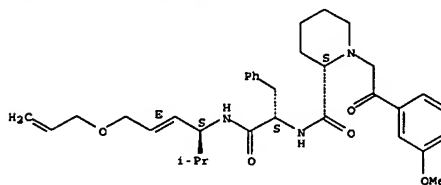
JP 1994-231839 A 19940927

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-68-7 CAPLUS

CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(1S)-2-[[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-], (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CA	2196182	AA	19960404	CA 1995-2196182	19950925
AU	9535341	A1	19960419	JP 1994-231839	A 19940927
JP	08151155	A2	19960611	JP 1994-231839	A 19940927
EP	783489	A1	19970716	EP 1995-932228	19950925
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			JP 1994-231839	A 19940927
				NO 1995-JP1933	W 19950925

OS MARPAT 125:115147

IT 178910-84-4P

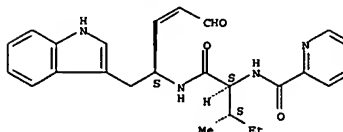
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide aldehyde derivs. as cysteine protease inhibitors and

bone resorption inhibitors for treating bone diseases)

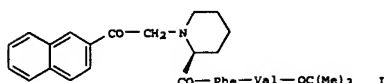
RN 178910-84-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[1-[[[1-(1H-indol-3-ylmethyl)-4-oxo-2-butenyl]amino]carbonyl]-2-methylbutyl]-, [(1S)-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI

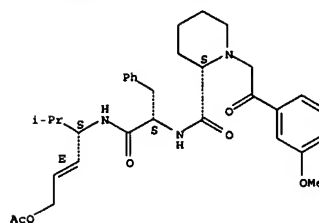
AB I is the most potent synthetic multidrug resistance (MDR) modulator of a series of compds. and is equivalent in potency to FK506, however, it is a thousand-fold less potent than FK506 vs. FKBP inhibition. It is apparent that the structure component of the FK506 mol. that imparts functional immunosuppressive activity is not required for useful P-glycoprotein inhibition, since the synthetic FKBP inhibitors lack the structural element which impart functional activity.

AN 1995:8945a CAPLUS
DN 122:45705
TI Investigation of the effects of synthetic, non-cytotoxic immunophilin inhibitors on MDR
AU Hauske, James R.; Kajiji, Shama; Dorff, Peter; Julin, Susan; DiBrino, Joseph; Paillet, Simone
CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(17), 2097-102
CODEN: BMCLES; ISSN: 0960-894X
DT Journal
LA English
IT 145021-58-5 145021-66-5 145021-67-6
145021-68-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (synthetic noncytotoxic immunophilin inhibitors effect on multidrug resistance)
RN 145021-58-5 CAPLUS
CN 2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, [2S-[2R*(1R*,2E)]]- (9CI) (CA INDEX NAME)

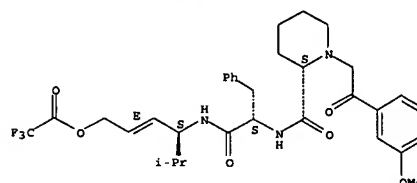
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-, (2E,4S)-4-[[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

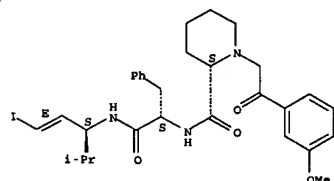
Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

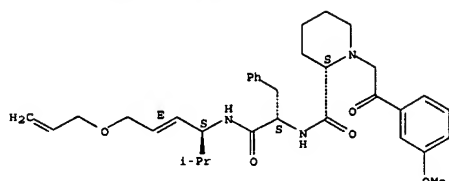
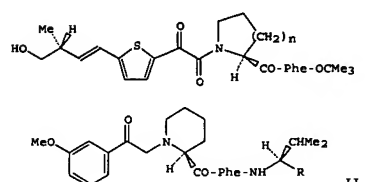
Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[[[(1S)-2-[[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyl)oxy]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
GI

AB Small mol.=FK-506 binding protein (FKBP) inhibitors, e.g.-I* (n = 1, 2) and II (R = CO-Phe-OMe, trans-CH:CHCH2O2CCF3, trans-CH:CHCH2O2CCF3) were prepared with inhibitory activity ranging from micromolar to nanomolar.
The design of these inhibitors derives from a structural anal. of the substrates for FKBP and cyclophilin. As a consequence of this anal. two key observations were made, namely: (1) aminoketone moieties are suitable as FKBP recognition elements at the P1-P12 site, and (2) the P32-P42 site will accept a trans-olefin as a suitable mimetic of a peptide moiety.

The preparation of these nonpeptide inhibitors is readily accomplished by a protocol which includes the synthesis of chiral propargylic amines and their subsequent conversion into vinyl zirconium reagents.

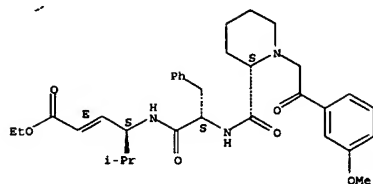
AN 1993:22591 CAPLUS
DN 118:22591
TI Design and synthesis of novel FKBP inhibitors
AU Hauske, James R.; Dorff, Peter; Julin, Susan; DiBrino, Joseph; Spencer, Robin; Williams, Rebecca
CS Cent. Res., Div. Pfizer Inc., Groton, CT, 06340, USA
SO Journal of Medicinal Chemistry (1992), 35(23), 4284-96
CODEN: JMCHAR; ISSN: 0022-2623
DT Journal
LA English
IT 145021-57-4P 145021-58-5P 145021-59-6P
145021-60-9P 145021-61-0P 145021-62-1P
145021-63-2P 145021-64-3P 145021-65-4P
145021-66-5P 145021-67-6P 145021-68-7P
145108-13-0P 145108-14-1P 145108-15-2P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and FK-506 binding protein inhibitory activity of)
RN 145021-57-4 CAPLUS
CN 2-Hexenoic acid, 4-[[[2-[[[1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-methyl-, ethyl ester, [2S-[2R*(2E,4R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

10667864

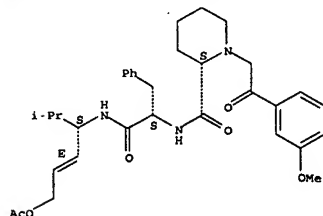
2/3/05

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-58-5 CAPLUS
 CN 2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

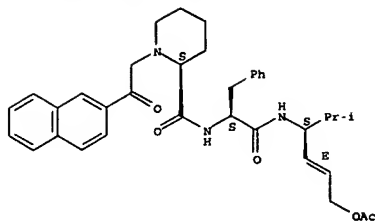
Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-59-6 CAPLUS
 CN 2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(2-naphthalenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

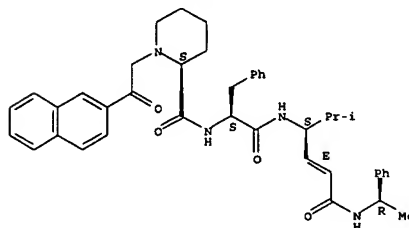
Absolute stereochemistry.
 Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-60-9 CAPLUS
 CN 2-Piperidinecarboxamide, N-[2-[[1-(1-methylethyl)-4-oxo-4-[(1-phenylethyl)amino]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(2-naphthalenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E,4(S*))]]]- (9CI) (CA INDEX NAME)

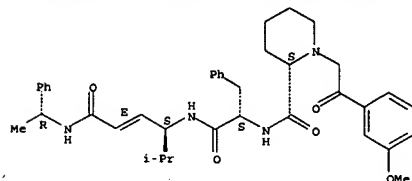
Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-61-0 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-4-oxo-4-[(1-phenylethyl)amino]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,4(S*))]]]- (9CI) (CA INDEX NAME)

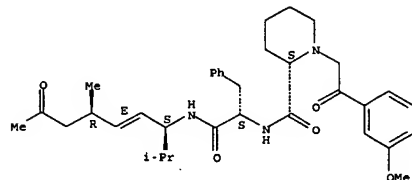
Absolute stereochemistry.
 Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-62-1 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[4-methyl-1-(1-methylethyl)-6-oxo-2-heptenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,4S*)]]]- (9CI) (CA INDEX NAME)

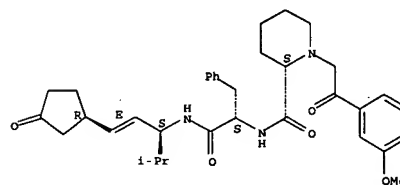
Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-63-2 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(3-oxocyclopentyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,3(S*))]]]- (9CI) (CA INDEX NAME)

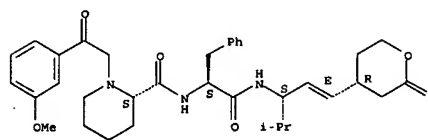
Absolute stereochemistry.
 Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 145021-64-3 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(tetrahydro-2-oxo-2H-pyran-4-yl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,3(S*))]]]- (9CI) (CA INDEX NAME)

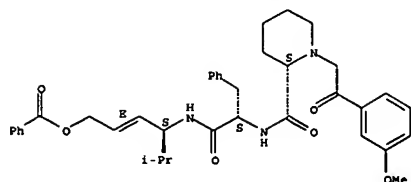
Absolute stereochemistry.
 Double bond geometry as shown.



RN 145021-65-4 CAPLUS
 CN 2-Piperidinecarboxamide, N-[(1S)-2-[[[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

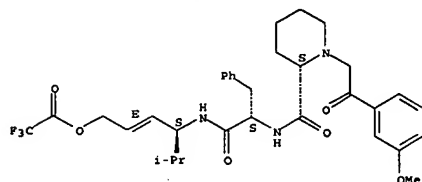
Absolute stereochemistry.
 Double bond geometry as shown.

10667864



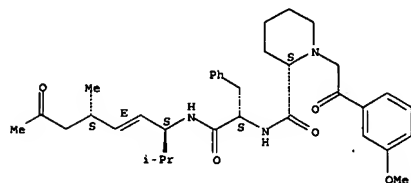
RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E,4E)-4-[[[(2S)-2-[[[(2S)-1-[2-(3-methoxyphenyl)-
2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5-
methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxamide, N-([1S]-2-([(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino)-2-oxo-1-(phenylmethyl)ethyl)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

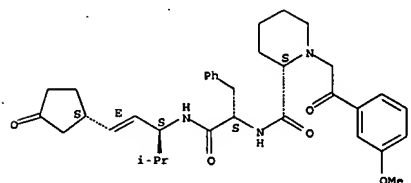


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RN      14S108-14-1  CAPLUS
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2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-
methyl-1-ethyl)-3-(3-oxocyclopentyl)-2-propenyl]amino]-2-oxo-1-
(phenylmethyl)ethyl]-, [2S-[2R*[R*[1R*,2E,3(R*)]]]]- (9CI)  (CA INDEX
NAME)

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Absolute stereochemistry.
Double bond geometry as shown.

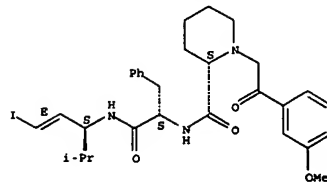


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RN      145108-15-2  CAPLUS
CN      2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methylethyl)-3-(tetrahydro-2-oxo-2H-pyran-4-yl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*([R*([R*,2E,3(R*)]])- (9CI) (CA INDEX NAME)]

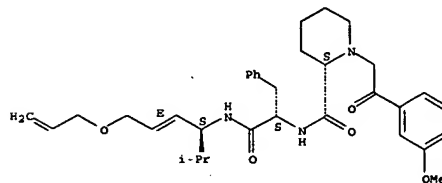
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Absolute stereochemistry.
Double bond geometry as shown.



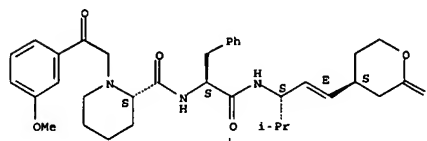
RN 145021-68-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-(2-(3-methoxyphenyl)-2-oxoethyl)-N-[(1S)-2-
[[[(1S,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-
phenylethylethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 145108-13-0 CAPLUS
 CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[4-methyl-1-(1-methylethyl)-6-oxo-2-heptenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R-[R[(1R*,2E,4R*)]]]-[9CI] (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

74.71

442.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.57

-10.95

STN INTERNATIONAL LOGOFF AT 11:27:48 ON 03 FEB 2005